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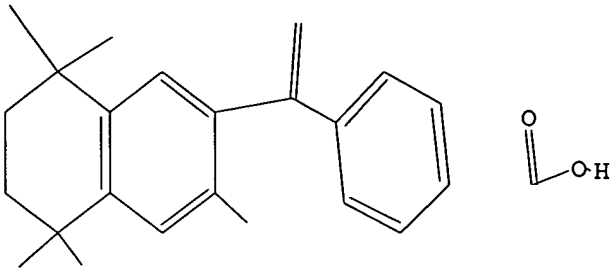

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 25 Jan 2006 VOL 144 ISS 5
FILE LAST UPDATED: 24 Jan 2006 (20060124/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

1/24/06

http://www.cas.org/infopolicy.html

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L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

AB The preparation and binding characteristics of a novel RXR (retinoid X receptor) selective tritiated radioligand is described. The results indicate that this probe may prove useful for further characterization of the RXR subtype of retinoid receptors.

AN 1995:267735 CAPLUS
DN 122:75576

TI Biochemical characterization of a novel RXR-selective, high specific activity radioligand

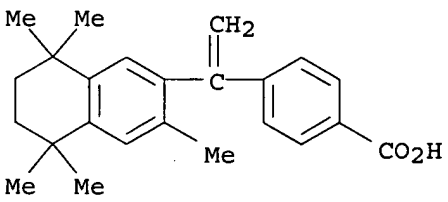
AU Mais, Dale E.; Berger, Elaine M.; Zhang, Lin; Boehm, Marcus F.
CS Department of Pharmacology, Ligand Pharmaceuticals, Incorporated, San Diego, CA, 92121, USA

SO Medicinal Chemistry Research (**1994**), 4(6), 406-13
CODEN: MCREEB; ISSN: 1054-2523
PB Birkhaeuser
DT Journal
LA English

IT **160436-02-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(biochem. characterization of retinoid X receptor-selective, high specific activity radioligand)

RN 160436-02-2 CAPLUS

CN Benzoic acid, 4-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethenyl]-, labeled with tritium (9CI) (CA INDEX NAME)

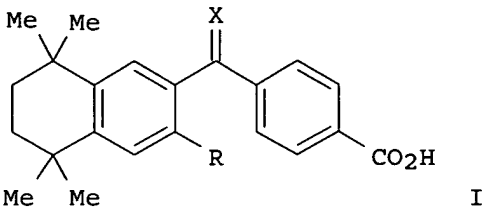


L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

08141496

1/24/06

GI



I

AB Two series of potent retinoid X receptor (RXR)-selective compds. were designed and synthesized based upon recent observation that(E)-4-[2-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-2-naphthalenyl)-1-propenyl]benzoic acid binds and transactivates only the retinoic acid receptor (RAR) subtypes whereas its 3-Me derivative binds and transactivates both the RAR and RXR subfamilies. Functional groups in the 3-position of the tetrahydronaphthalenes I [R = H, alkyl, halo, OH, OMe; X = O, CH2] results in compds. which elicit potent and selective activation of the RXR class. Such RXR-selective compds. offer pharmacol. tools for elucidating the biol. role of the individual retinoid receptors with which they interact. Activation profiles in cotransfection and competitive binding assays as well as mol. modeling calcns. demonstrate critical structural determinants that confer selectivity for members of the RXR subfamily. The most potent compound of these series, I [R = Me, X = CH2], is the first RXR-selective retinoid (designated as LGD1069) to enter clin. trials for cancer indications.

AN 1994:656056 CAPLUS

DN 121:256056

TI Synthesis and Structure-Activity Relationships of Novel Retinoid X Receptor-Selective Retinoids

AU Boehm, Marcus F.; Zhang, Lin; Badea, Beth Ann; White, Steven K.; Mais, Dale E.; Berger, Elaine; Suto, Carla M.; Goldman, Mark E.; Heyman, Richard A.

CS Department of Medicinal Chemistry, Ligand Pharmaceuticals Inc., San Diego, CA, 92121, USA

SO Journal of Medicinal Chemistry (**1994**), 37(18), 2930-41
CODEN: JMCMAR; ISSN: 0022-2623

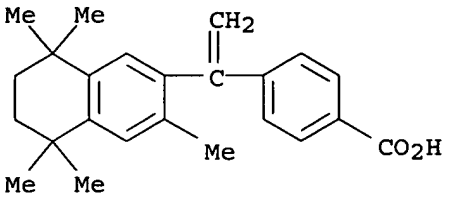
DT Journal

LA English

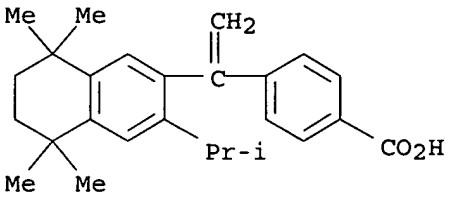
IT **153559-49-0P 153559-56-9P 153559-59-2P**
158499-03-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and retinoid receptor binding of)

RN 153559-49-0 CAPLUS

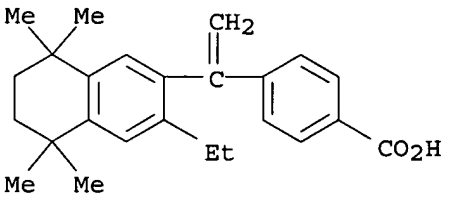
CN Benzoic acid, 4-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)



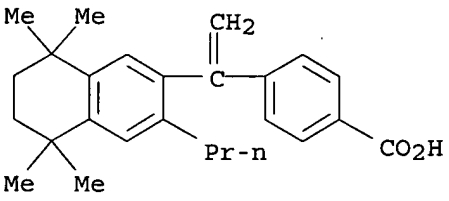
RN 153559-56-9 CAPLUS
CN Benzoic acid, 4-[1-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(1-methylethyl)-2-naphthalenyl]ethenyl]- (9CI) (CA INDEX NAME)



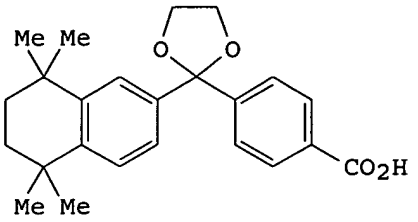
RN 153559-59-2 CAPLUS
CN Benzoic acid, 4-[1-(3-ethyl-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)



RN 158499-03-7 CAPLUS
CN Benzoic acid, 4-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-propyl-2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB The invention provides a method of screening a substance for the ability to affect the formation of a retinoid X receptor (RXR) homodimer comprising combining the substance and a solution containing RXR receptors and determining the presence of homodimer formation. The screening method can be used to determine compds. which selectively activate homodimer formation and heterodimer formation. Also provided is a method of screening a substance for an effect on a RXR receptor homodimer's ability to bind DNA comprising combining the substance with the homodimer and determining the effect of the compound on the homodimer's ability to bind DNA. Finally, the invention provides methods of activating RXR receptor homodimer formation. Bridged bicyclic aromatic compds. are provided. These compds. are useful for modulating gene expression of retinoic acid receptors, vitamin D receptors and thyroid receptors. Pharmaceutical compns. and methods for modulating gene expression are provided as well. Retinoids were identified that specifically induce RXR homodimer formation and activate RXR homodimers on specific genetic response elements but not RAR/RXR heterodimers. These retinoids allow the specific activation of RXR-selective response pathways, while not inducing RAR-dependent response pathways. One of these compds., SR11237 (I), was prepared from Me 4-[(5,6,7,8-tetrahydro-5,5,8,8,-tetramethyl-2-naphthalenyl)carbonyl]benzoate (preparation given).

AN 1994:526151 CAPLUS

DN 121:126151

TI RXR receptor homodimer formation and bridged bicyclic aromatic compounds and their use in modulating gene expression and screening modulating compounds

IN Pfahl, Magnus; Zhang, Xiao Kun; Lehmann, Jurgen M.; Dawson, Marcia I.; Camerion, James F.; Hobbs, Peter D.; Jong, Ling

PA La Jolla Cancer Research Foundation, USA; SRI International

SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

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PATENT FAMILY INFORMATION:

FAN 1994:158149

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FAN 1996:577830

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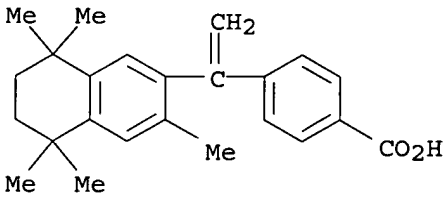
OS CASREACT 121:126151; MARPAT 121:126151

IT **153559-49-0P**
RL: PREP (Preparation)
(preparation of, retinoid X receptor homodimer formation and binding to genetic response element in relation to)

RN 153559-49-0 CAPLUS

CN Benzoic acid, 4-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

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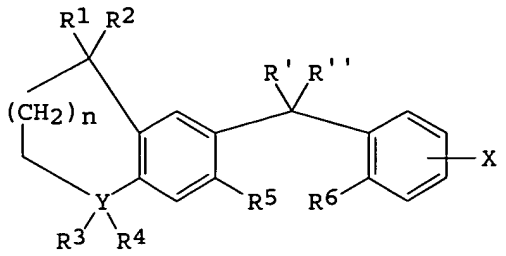

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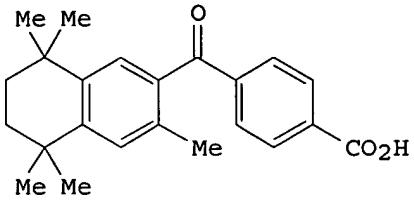

II

AB Ligands which selectively activate retinoid X receptors (RXR) in preference to retinoic acid receptors (RAR) are claimed. Claimed per se are several Markush structures, e.g., compds. I [R1, R2 = H, alkyl, acyl; Y = C, O, S, N, CH(OH), CO, SO, SO2, or a salt derivative; R3, R4 = H, alkyl, or is absent; R', R'' = H, alkyl, acyl, OH, alkoxy, thiol, thio ether, amino; or R'R'' = :O, :CH2, :S, :NOH, :NCN, CH2CH2, CH2O, etc.; R5, R6 = H, alkyl, halo, NO2, OH, alkoxy, SH, alkylthio, (di)(alkyl)amino, etc.; X = CO2H or derivs., CHO, tetrazolyl, PO3H2, SO3H, CH2OH, etc.], represented by 43 synthetic examples. Thus, acylation of 1,1,4,4,6-pentamethyl-1,2,3,4-tetrahydronaphthalene by mono-Me terephthalate using PCl5 and then AlCl3, and saponification of the ester product, gave title compound II. In a cotransfection assay, II activated RXR subtypes (α, β, γ) with efficacies of 130%, 52%, and 82%, resp. (vs. all-trans-retinoic acid as 100%), but had <2% to <4% efficacy for RAR subtypes. I synergistically increased the activities (e.g., antihyperproliferative) of RAR-active ligands, as well as other hormonal systems (e.g., clofibrate and 1,25-dihydroxyvitamin D activities).

AN 1994:217004 CAPLUS
DN 120:217004

TI Compounds (naphthalene and indane derivatives) having selectivity for retinoid X receptors

IN Boehm, Marcus F.; Heyman, Richard A.; Zhi, Lin
PA Ligand Pharmaceuticals Inc., USA

SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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OS MARPAT 120:217004
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153559-65-0P 153559-79-6P 153559-83-2P
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(preparation of, as retinoid receptor ligand)
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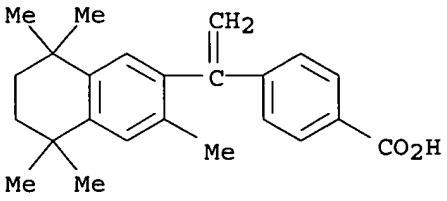

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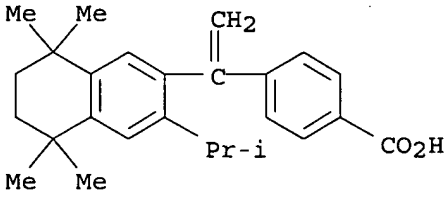

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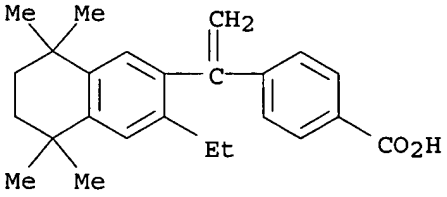

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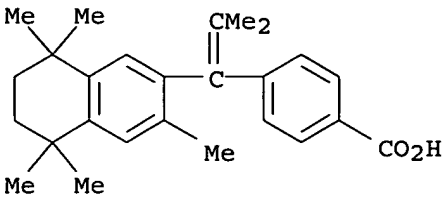

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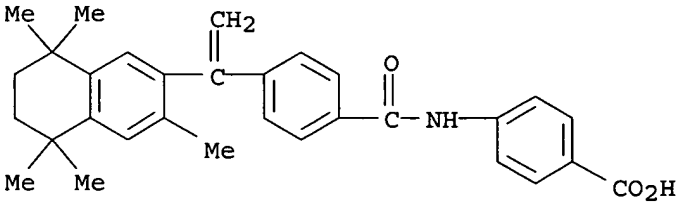

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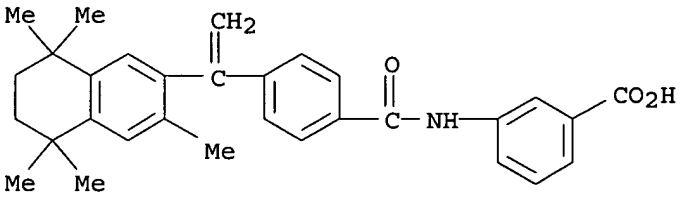

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1/24/06

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DICTIONARY FILE UPDATES: 24 JAN 2006 HIGHEST RN 872575-89-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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conducting SmartSELECT searches.

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
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Structure search iteration limits have been increased. See HELP SLIMITS
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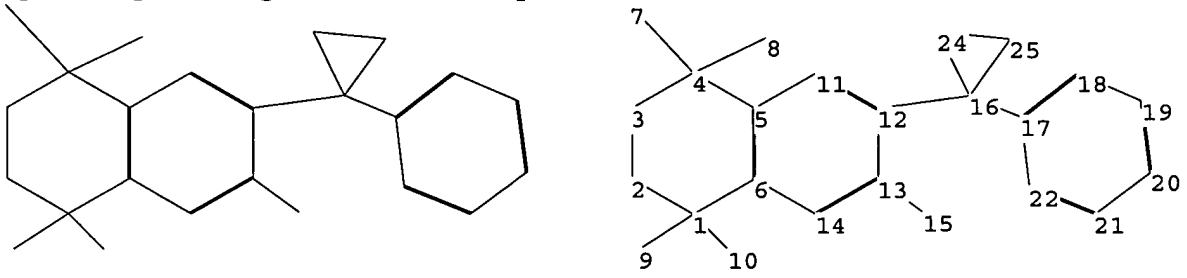

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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FILE COVERS 1907 - 25 Jan 2006 VOL 144 ISS 5
FILE LAST UPDATED: 24 Jan 2006 (20060124/ED)

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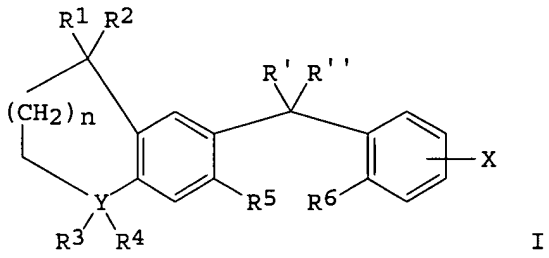

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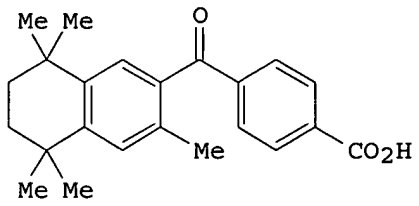

II

AB Ligands which selectively activate retinoid X receptors (RXR) in preference to retinoic acid receptors (RAR) are claimed. Claimed per se are several Markush structures, e.g., compds. I [R1, R2 = H, alkyl, acyl; Y = C, O, S, N, CH(OH), CO, SO, SO2, or a salt derivative; R3, R4 = H, alkyl, or is absent; R', R'' = H, alkyl, acyl, OH, alkoxy, thiol, thio ether, amino; or R'R'' = :O, :CH2, :S, :NOH, :NCN, CH2CH2, CH2O, etc.; R5, R6 = H, alkyl, halo, NO2, OH, alkoxy, SH, alkylthio, (di)(alkyl)amino, etc.; X = CO2H or derivs., CHO, tetrazolyl, PO3H2, SO3H, CH2OH, etc.], represented by 43 synthetic examples. Thus, acylation of 1,1,4,4,6-pentamethyl-1,2,3,4-tetrahydronaphthalene by mono-Me terephthalate using PCl5 and then

AlCl3, and saponification of the ester product, gave title compound II. In a cotransfection assay, II activated RXR subtypes (α, β, γ) with efficacies of 130%, 52%, and 82%, resp. (vs. all-trans-retinoic acid as 100%), but had <2% to <4% efficacy for RAR subtypes. I synergistically increased the activities (e.g., antihyperproliferative) of RAR-active ligands, as well as other hormonal systems (e.g., clofibrate and 1,25-dihydroxyvitamin D activities).

AN 1994:217004 CAPLUS
DN 120:217004
TI Compounds (naphthalene and indane derivatives) having selectivity for retinoid X receptors
IN Boehm, Marcus F.; Heyman, Richard A.; Zhi, Lin
PA Ligand Pharmaceuticals Inc., USA
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
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	US 1993-27747	A	19930305		
	US 1993-52051	A	19930421		
	US 1993-52050		19930421		
	EP 1993-910835	A3	19930422		
	WO 1993-US3944	A	19930422		
	US 1993-141246	A1	19931022		
	US 1993-141496	A1	19931022		
	US 1993-141914	A1	19931022		
	WO 1993-US10094	W	19931022		
	WO 1993-US10166	W	19931022		
	WO 1993-US10204	W	19931022		
	US 1995-479920	B1	19950607		
	US 1995-485386	A1	19950607		

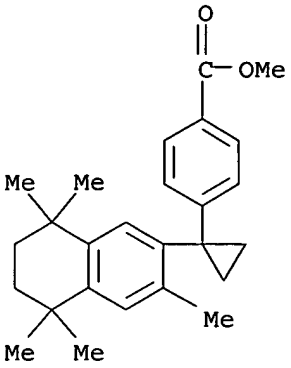
OS MARPAT 120:217004

IT **153559-88-7P**

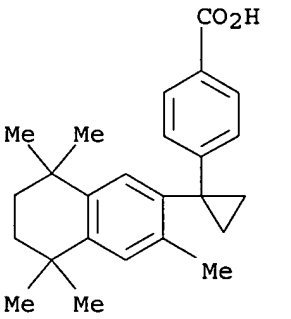
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for retinoid receptor ligand)

RN 153559-88-7 CAPLUS

CN Benzoic acid, 4-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **153559-62-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as retinoid receptor ligand)
RN 153559-62-7 CAPLUS
CN Benzoic acid, 4-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]- (9CI) (CA INDEX NAME)



1/24/06

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Uploading C:\Program Files\Stnexp\Queries\008141496-2.str

L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
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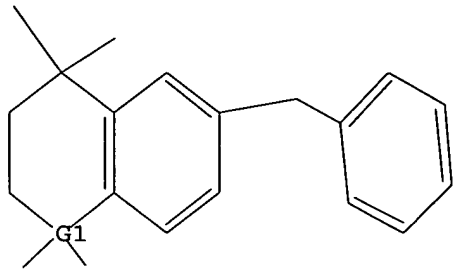


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G1 O,S,N


Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SEARCH INITIATED 12:47:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 12:47:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=>
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